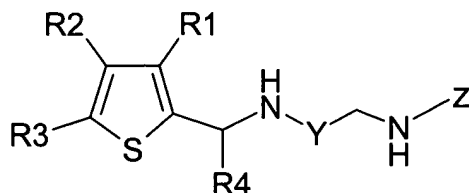


CLAIMS

What is claimed is:

1. A compound of the formula (I):

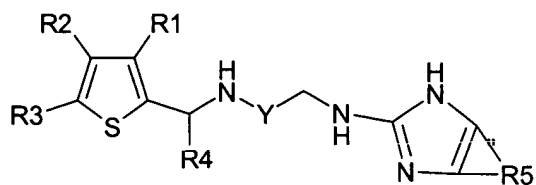


(I)

in which

- R¹ is selected from the group consisting of C₍₁₋₃₎ alkyl, C₍₂₋₃₎ alkenyl, C₍₂₋₃₎ alkynyl;
- R² is halogen;
- R³ is selected from the group consisting of Br, optionally fluoro-substituted C₍₁₋₃₎ alkyl, optionally fluoro-substituted C₍₂₋₃₎ alkenyl, and C₍₂₋₃₎ alkynyl;
- R⁴ is selected from the group consisting of H, and C₍₁₋₃₎ alkyl;
- Y is C₍₁₋₃₎ alkyl; and
- Z is selected from the group consisting of substituted or unsubstituted heteroaryl imidazole, substituted or unsubstituted quinolone, substituted or unsubstituted benzimidazole, substituted or unsubstituted fused heteroaryl pyridone, substituted or unsubstituted fused aryl pyrimidone, or substituted or unsubstituted fused heteroaryl pyrimidone.

2. A compound according to claim 1, wherein the compound is a compound of the formula (II):



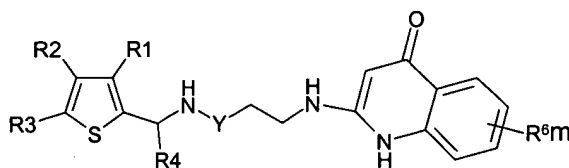
(II)

in which:

R¹, R², R³, R⁴ and Y are defined as in Claim 1; and

- 5 R⁵ is the residue of a 5 or 6-membered heteroaryl ring which is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, cyano, hydroxy, (C₁₋₆)alkyl, optionally substituted by a member selected from the group consisting of halo, hydroxy, amino, mono to perfluoro(C₁₋₃)alkyl, carboxy and (C₁₋₆)alkoxycarbonyl; (C₃₋₇)cycloalkyl, C₍₁₋₆₎alkoxy, amino, mono- or
- 10 di-(C₁₋₆)alkylamino, acylamino, carboxy, (C₁₋₆)alkoxycarbonyl, carboxy(C₁₋₆)alkyloxy, (C₁₋₆)alkylthio, (C₁₋₆)alkylsulphinyl, (C₁₋₆)alkylsulphonyl, sulphamoyl, mono- and di-(C₁₋₆)alkylsulphamoyl, carbamoyl, mono- and di-(C₁₋₆)alkylcarbamoyl, and heterocyclyl.

- 15 3. A compound according to claim 1, wherein the compound is a compound of the formula (III):



(III)

- 20 in which:

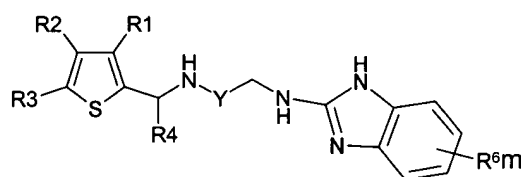
R¹, R², R³, R⁴ and Y are defined as in Claim 1; and

R⁶ is selected from the group consisting of halo, cyano, hydroxy, (C₁₋₆)alkyl, optionally substituted by a member selected from the group consisting of halo, hydroxy, amino, mono to perfluoro(C₁₋₃)alkyl, carboxy or (C₁₋₆)alkoxycarbonyl). (C₃₋₇)cycloalkyl,

C₍₁₋₆₎ alkoxy, amino, mono- or di-(C₁₋₆)alkylamino, acylamino, carboxy, (C₁₋₆)alkoxycarbonyl, carboxy(C₁₋₆)alkyloxy, (C₁₋₆)alkylthio, (C₁₋₆)alkylsulphinyl, (C₁₋₆)alkylsulphonyl, sulphamoyl, mono- and di-(C₁₋₆)alkylsulphamoyl, carbamoyl, mono- and di-(C₁₋₆)alkylcarbamoyl, and heterocyclyl;

5 m is 0 or an integer from 1 to 3.

4. A compound according to claim 1, wherein the compound is a compound of the formula (IV):



10

(IV)

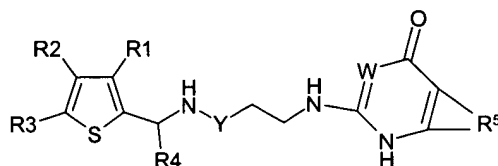
in which:

R¹, R², R³, R⁴ and Y are defined as in Claim 1; and

R⁶ and m are defined as in Claim 3, including tautomeric forms of the imidazole

15 ring.

5. A compound according to claim 1, wherein the compound is a compound of the formula (V):



20

(V)

in which:

R¹, R², R³, R⁴ and X are defined as in Claim 1;

W is N or C; and

25 R₅ and m are defined as in claim 2; and

Y is C₍₁₋₃₎alkylene or C₍₄₋₆₎cycloalkylene;

including tautomeric forms of the pyrimidone ring (when W is N).

6. A compound according to any of claims 1-5,
in which:

5 R¹ is selected from the group consisting of methyl, allyl, propene, and propyne;

R² is Br;

R³ is selected from the group consisting of Br, ethyl, cyclopropyl, difluoromethyl,
trifluoromethyl, vinyl, fluorovinyl, and ethyne;

R⁴ is H;

10 Y is C₂ alkyl; and

Z is selected from the group consisting of quinoline, heteroaryl imidazole,
benzimidazole, and heteroaryl pyrimidone.

7. A salt of a compound according to any of claim 1-6.

15

8. The salt of claim 7, wherein the salt is a pharmaceutically acceptable salt.

9. The compound of claim 1, wherein the compound is selected from the group
consisting of *N*-(4,5-Dibromo-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-
20 one)propane-1,3-diamine;

N-(4-bromo-5-ethynyl-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-one)propane-
1,3-diamine;

N-(4-bromo-3-methyl-5-vinylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-one)propane-1,3-
diamine;

25 *N*-(4-bromo-5-(1-fluorovinyl)-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-
one)propane-1,3-diamine;

N-(4-bromo-5-ethyl-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-one)propane-1,3-
diamine;

30 *N*-(4-bromo-5-cyclopropyl-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-
one)propane-1,3-diamine;

N-(4-bromo-5-difluoromethyl-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-
one)propane-1,3-diamine;

N-(4-bromo-3-methyl-5-trifluoromethylthiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-

one)propane-1,3-diamine;

N-(4-bromo-3-(1-propynyl)-5-ethyl thiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-one)propane-1,3-diamine;

N-(4-bromo-3-(1-propenyl)-5-ethyl thiophen-2-ylmethyl)-*N'*-(1*H*-quinolin-4-

5 one)propane-1,3-diamine.;

N-(4-bromo-5-(1-fluorovinyl)-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-benzimidazole)propane-1,3-diamine;

N-(4-bromo-5-difluoromethyl-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-benzimidazole)propane-1,3-diamine;

10 *N*-(4-bromo-3-methyl-5-trifluoromethylthiophen-2-ylmethyl)-*N'*-(1*H*-benzimidazole)propane-1,3-diamine; and

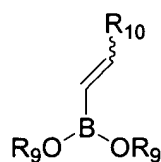
N-(4,5-Dibromo-3-methylthiophen-2-ylmethyl)-*N'*-(1*H*-thieno [3,2-*d*]pyrimidin-4-one)propane-1,3-diamine.

15 10. A compound according to any of claim 1-9 for use in the treatment of bacterial infections.

11. A pharmaceutical composition comprising a compound or salt of any of claim 1-9 and a pharmaceutically acceptable carrier or excipient.

20

12. A process for preparing a vinyl thiophene intermediate comprising reacting a compound of the formula:

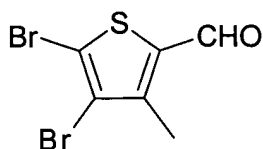


25

in which R₁₀ is alkyl or substituted alkyl; and

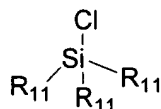
R₉ is an alkyl, substituted alkyl, or alkyl bridge such as dioxane;

with the compound:



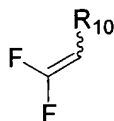
in the presence of a palladium catalyst and a base.

- 5 13. A process for preparing a fluoro vinyl thiophene intermediate comprising
a) reacting a compound of the formula:

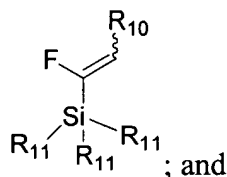


- in which R₁₁ is independently selected from the group consisting of phenyl or substituted
10 phenyl, or heteroaryl such as thiophene, furan, pyridyl and alkyl.

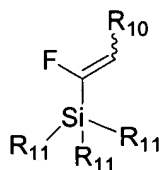
with a compound of the formula:



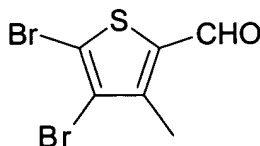
- 15 in which R¹⁰ is H, alkyl or substituted alkyl; in the presence of lithium, to generate a compound of the formula:



- 20 b) reacting a compound of the formula



with a compound of the formula



- in the presence of a palladium catalyst, any arsine or phosphine ligand such as AsPh₃ or
25 PPh₃, and copper (I) salt, such as CuI, and an alkaline earth metal fluoride, such as CsF,
to generate a compound of the formula:

